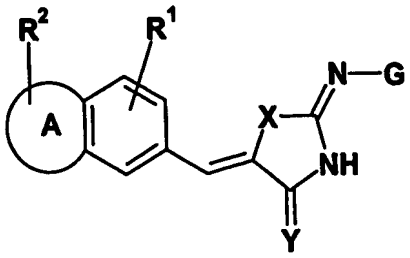
Claims

1. An imino-azolinone-vinyl fused-benzene derivative according to Formula (I),



(I)

wherein A is an 5-8 membered heterocyclic group or an carbocyclic group which may be fused with an aryl, an heteroaryl, an cycloalkyl or an heterocycloalkyl;

X is S, O or $-NR^3$;

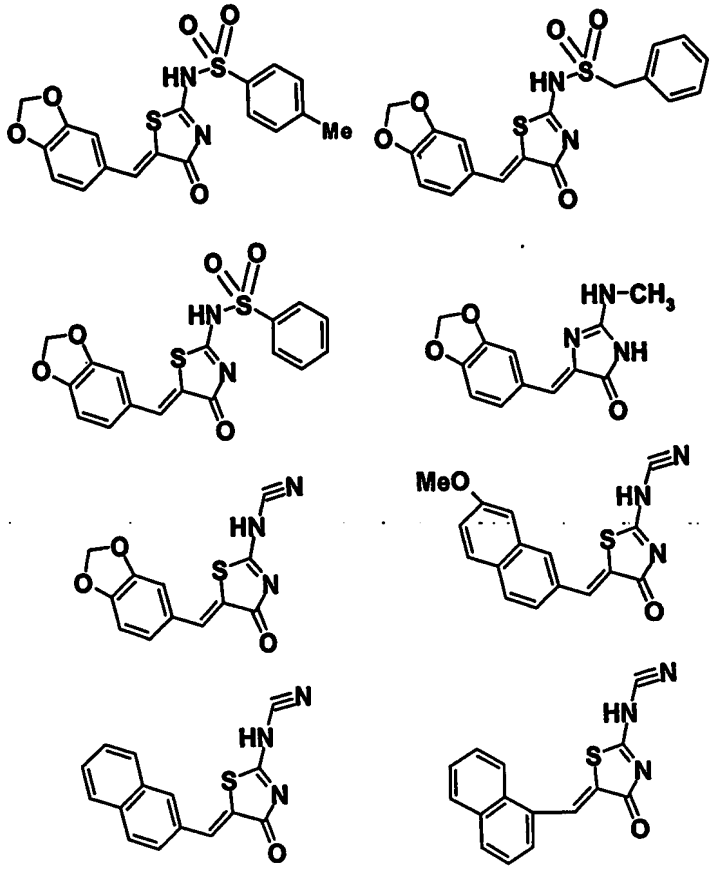
Y is S or O;

R^1 is selected from the group comprising or consisting of H, CN, carboxy, acyl, C_1-C_6-alkoxy, halogen, hydroxy, acyloxy, C_1-C_6-alkyl carboxy, C_1-C_6-alkyl acyloxy, C_1-C_6-alkyl alkoxy, alkoxycarbonyl, C_1-C_6-alkyl alkoxycarbonyl, aminocarbonyl, C_1-C_6-alkyl aminocarbonyl, acylamino, C_1-C_6-alkyl acylamino, ureido, C_1-C_6-alkyl ureido, amino, C_1-C_6-alkyl amino, ammonium, sulfonyloxy, C_1-C_6-alkyl sulfonyloxy, sulfonyl, C_1-C_6-alkyl sulfonyl, sulfinyl, C_1-C_6-alkyl sulfinyl, sulfanyl, C_1-C_6-alkyl sulfanyl, sulfonylamino, C_1-C_6-alkyl sulfonylamino or carbamate;

R^2 is selected from the group comprising or consisting of H, halogen, acyl, amino, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, C_1-C_6-alkyl carboxy, C_1-C_6-alkyl acyl, C_1-C_6-alkyl alkoxycarbonyl, C_1-C_6-alkyl aminocarbonyl, C_1-C_6-alkyl acyloxy, C_1-C_6-alkyl acylamino, C_1-C_6-alkyl ureido, C_1-C_6-alkyl carbamate, C_1-C_6-alkyl amino, C_1-C_6-alkyl alkoxy, C_1-C_6-alkyl sulfanyl, C_1-C_6-alkyl sulfinyl, C_1-C_6-alkyl sulfonyl, C_1-C_6-alkyl sulfonylaminoaryl, aryl, heteroaryl, C_3-C_8-cycloalkyl or heterocycloalkyl, C_1-C_6-alkyl aryl, C_1-C_6-alkyl heteroaryl, C_2-C_6-alkenyl-aryl or -heteroaryl, C_2-C_6-alkynyl aryl or -heteroaryl, carboxy, cyano, hydroxy, C_1-C_6-alkoxy, nitro, acylamino, ureido, sulfonylamino, sulfanyl, or sulfonyl;

G is a C_1-C_6-alkoxy, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, C_1-C_6-alkyl aryl, cyano or a sulfonyl moiety;

R^3 is selected from the group comprising or consisting of H or C_1-C_6-alkyl; with the proviso that the following 8 compounds are excluded :



2. The imino-azolinone-vinyl fused-benzene derivative according to claim 1, wherein A is selected from the group consisting of 2H-(benzo-1, 3-dioxolanyl), 2H, 3H-benzo-1,4-dioxanyl, 2,3-dihydrobenzofuranyl, anthraquinonyl, 2,2-difluorobenzo-1,3-dioxolenyl, 1,3-dihydrobenzofuranyl, benzofuranyl, 4-methyl-2H-benzo-1,4-oxazin-3-onyl, pyridinyl, pyrazinyl, 4-methyl-2H, 3H-benzo-1,4-oxazinyl.

3. The imino-azolinone-vinyl fused-benzene derivative according to claim 2, wherein A is a dioxolenyl or a pyridinyl moiety.

4. The imino-azolinone-vinyl fused-benzene derivative according to any of the preceding claims wherein R^1 and/or R^2 are H.

5. The imino-azolinone-vinyl fused-benzene derivative according to any of the preceding claims wherein G is a C_1-C_6-alkoxy, cyano or a sulfonyl moiety.

6. The imino-azolinone-vinyl fused-benzene derivative according to any of the preceding claims wherein G is a C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl C_1-C_6-alkyl aryl moiety.

7. The imino-azolinone-vinyl fused-benzene derivative according to claims 1 to 5, wherein G is a sulfonyl moiety of the formula $-SO_2-R^4$, whereby R^4 is selected from the group comprising or consisting of of H, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, C_1-C_6-alkyl carboxy, C_1-C_6-alkyl acyl, C_1-C_6-alkyl alkoxycarbonyl, C_1-C_6-alkyl aminocarbonyl, C_1-C_6-alkyl acyloxy, C_1-C_6-alkyl acylamino, C_1-C_6-alkyl ureido, C_1-C_6-alkyl carbamate, C_1-C_6-alkyl amino, C_1-C_6-alkyl alkoxy, C_1-C_6-alkyl sulfanyl, C_1-C_6-alkyl sulfinyl, C_1-C_6-alkyl sulfonyl, C_1-C_6-alkyl sulfonylaminoaryl, aryl, heteroaryl, C_3-C_8-cycloalkyl or heterocycloalkyl, C_1-C_6-alkyl aryl, C_1-C_6-alkyl heteroaryl, C_2-C_6-alkenyl-aryl or -heteroaryl, C_2-C_6-alkynyl aryl or -heteroaryl, carboxy, hydroxy, C_1-C_6-alkoxy, acylamino, sulfonylamino.

8. The imino-azolinone-vinyl fused-benzene derivative according to claim 7, wherein R^4 is aryl, heteroaryl or C_1-C_3 alkyl.

9. The imino-azolinone-vinyl fused-benzene derivative according to any of the preceding claims wherein X is S, Y is O, R^1 and R^2 are H, A is a dioxolenyl or pyridinyl moiety.

10. The imino-azolinone-vinyl fused-benzene derivative according to any of the preceeding claims, selected from the group consisting of :

N-(5-Benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-2-chloro–benzene sulfonamide;

Ethanesulfonic acid (5-benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-amide;

N-(5-Benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-3-chloro-benzene sulfonamide;

5-Chloro-1,3-dimethyl-1H-pyrazole-4-sulfonic acid (5-benzo[1,3]dioxol-5-yl methylene -4-oxo-thiazolidin-2-ylidene)-amide;

3-(5-Benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidenesulfamoyl)-thiophene-2-carboxylic acid methyl ester;

6-Chloro-pyridine-3-sulfonic acid (5-benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-amide;

Quinoline-8-sulfonic acid (5-benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-amide;

N-(5-Benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-methane sulfonamide;

N-[5-(2,2-Difluoro-benzo[1,3]dioxol-5-ylmethylene)-4-oxo-thiazolidin-2-ylidene]-benzenesulfonamide;

N-[5-(2,2-Difluoro-benzo[1,3]dioxol-5-ylmethylene)-4-oxo-thiazolidin-2-ylidene]-4-methyl-benzenesulfonamide;

N-[5-(2,2-Difluoro-benzo[1,3]dioxol-5-ylmethylene)-4-oxo-thiazolidin-2-ylidene]-methanesulfonamide;

Biphenyl-2-sulfonic acid (5-benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-amide;

Pyridine-3-sulfonic acid (5-benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-amide;

3-(4-Oxo-5-quinolin-6-ylmethylene-thiazolidin-2-ylidenesulfamoyl)-thiophene-2-carboxylic acid methyl ester;

2-Chloro-N-(4-oxo-5-quinolin-6-ylmethylene-thiazolidin-2-ylidene)-benzene sulfonamide;

3-(5-Benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidenesulfamoyl)-thiophene-2-carboxylic acid;

5-Benzo[1,3]dioxol-5-ylmethylene-thiazolidine-2,4-dione-2-(O-methyl-oxime);

4-oxo-5-quinoxalin-6-ylmethylene-thiazolidin-2-ylidene-cyanamide;

5-Benzo[1,3]dioxol-5-ylmethylene-2-benzylimino-thiazolidin-4-one;

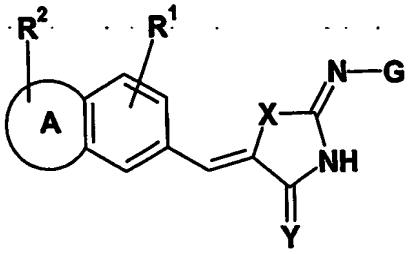
2-Benzylimino-5-quinolin-6-ylmethylene-thiazolidin-4-one;

2-Propylimino-5-quinolin-6-ylmethylene-thiazolidin-4-one;

5-Benzo[1,3]dioxol-5-ylmethylene-2-propylimino-thiazolidin-4-one;

5-(4-Dimethylamino-quinazolin-6-ylmethylene)-2-methylamino-thiazol-4-one.

11. An imino-azolinone-vinyl fused-benzene derivative according to Formula (I)



(I)

wherein A is an 5-8 membered heterocyclic group or an carbocyclic group which may be fused with an aryl, an heteroaryl, an cycloalkyl or an heterocycloalkyl;

X is S, O or $-NR^3$;

Y is S or O;

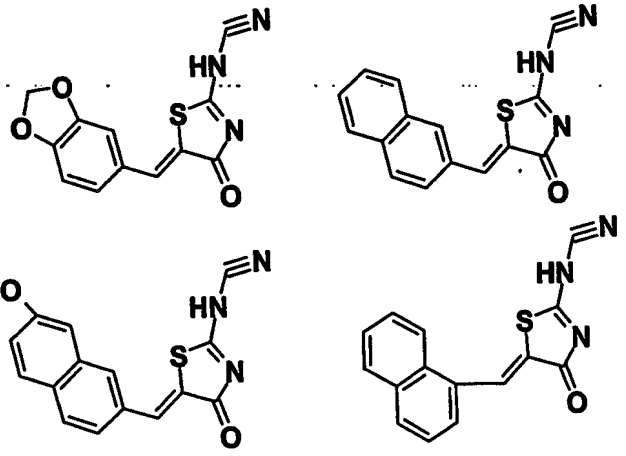
R^1 is selected from the group comprising or consisting of H, CN, carboxy, acyl, C_1-C_6-alkoxy, halogen, hydroxy, acyloxy, C_1-C_6-alkyl carboxy, C_1-C_6-alkyl acyloxy, C_1-C_6-alkyl alkoxy, alkoxycarbonyl, C_1-C_6-alkyl alkoxycarbonyl, aminocarbonyl, C_1-C_6-alkyl aminocarbonyl, acylamino, C_1-C_6-alkyl acylamino, ureido, C_1-C_6-alkyl ureido, amino, C_1-C_6-alkyl amino, ammonium, sulfonyloxy, C_1-C_6-alkyl sulfonyloxy, sulfonyl, C_1-C_6-alkyl sulfonyl, sulfinyl, C_1-C_6-alkyl sulfinyl, sulfanyl, C_1-C_6-alkyl sulfanyl, sulfonylamino, C_1-C_6-alkyl sulfonylamino or carbamate;

R^2 is selected from the group comprising or consisting of H, halogen, acyl, amino, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, C_1-C_6-alkyl carboxy, C_1-C_6-alkyl acyl, C_1-C_6-alkyl alkoxycarbonyl, C_1-C_6-alkyl aminocarbonyl, C_1-C_6-alkyl acyloxy, C_1-C_6-alkyl

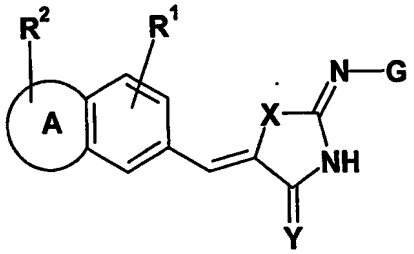
acylamino, C_1-C_6-alkyl ureido, C_1-C_6-alkyl carbamate, C_1-C_6-alkyl amino, C_1-C_6-alkyl alkoxy, C_1-C_6-alkyl sulfanyl, C_1-C_6-alkyl sulfinyl, C_1-C_6-alkyl sulfonyl, C_1-C_6-alkyl sulfonylaminoaryl, aryl, heteroaryl, C_3-C_8-cycloalkyl or heterocycloalkyl, C_1-C_6-alkyl aryl, C_1-C_6-alkyl heteroaryl, C_2-C_6-alkenyl-aryl or -heteroaryl, C_2-C_6-alkynyl aryl or -heteroaryl, carboxy, cyano, hydroxy, C_1-C_6-alkoxy, nitro, acylamino, ureido, sulfonylamino, sulfanyl, or sulfonyl;

G is a C_1-C_6-alkoxy, C_1-C_6-alky, C_2-C_6-alkenyl, C_2-C_6-alkynyl, C_1-C_6-alkyl aryl, cyano or a sulfonyl moiety;

R^3 is selected from the group comprising or consisting of H or C_1-C_6-alkyl; for use as a medicament; with the proviso that the following 4 compounds are excluded:



12. Use of an imino-azolinone-vinyl fused-benzene derivative according to Formula (I)



(I)

wherein A is an 5-8 membered heterocyclic group or an carbocyclic group which may be fused with an aryl, an heteroaryl, an cycloalkyl or an heterocycloalkyl;

X is S, O or $-NR^3$;

Y is S or O;

R^1 is selected from the group comprising or consisting of H, CN, carboxy, acyl, C_1-C_6-alkoxy, halogen, hydroxy, acyloxy, C_1-C_6-alkyl carboxy, C_1-C_6-alkyl acyloxy, C_1-C_6-alkyl alkoxy, alkoxycarbonyl, C_1-C_6-alkyl alkoxycarbonyl, aminocarbonyl, C_1-C_6-alkyl aminocarbonyl, acylamino, C_1-C_6-alkyl acylamino, ureido, C_1-C_6-alkyl ureido, amino, C_1-C_6-alkyl amino, ammonium, sulfonyloxy, C_1-C_6-alkyl sulfonyloxy, sulfonyl, C_1-C_6-alkyl sulfonyl, sulfinyl, C_1-C_6-alkyl sulfinyl, sulfanyl, C_1-C_6-alkyl sulfanyl, sulfonylamino, C_1-C_6-alkyl sulfonylamino or carbamate;

R^2 is selected from the group comprising or consisting of H, halogen, acyl, amino, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, C_1-C_6-alkyl carboxy, C_1-C_6-alkyl acyl, C_1-C_6-alkyl alkoxycarbonyl, C_1-C_6-alkyl aminocarbonyl, C_1-C_6-alkyl acyloxy, C_1-C_6-alkyl acylamino, C_1-C_6-alkyl ureido, C_1-C_6-alkyl carbamate, C_1-C_6-alkyl amino, C_1-C_6-alkyl alkoxy, C_1-C_6-alkyl sulfanyl, C_1-C_6-alkyl sulfinyl, C_1-C_6-alkyl sulfonyl, C_1-C_6-alkyl sulfonylaminoaryl, aryl, heteroaryl, C_3-C_8-cycloalkyl or heterocycloalkyl, C_1-C_6-alkyl aryl, C_1-C_6-alkyl heteroaryl, C_2-C_6-alkenyl-aryl or -heteroaryl, C_2-C_6-alkynyl aryl or -heteroaryl, carboxy, cyano, hydroxy, C_1-C_6-alkoxy, nitro, acylamino, ureido, sulfonylamino, sulfanyl, or sulfonyl;

G is a C_1-C_6-alkyl, C_2-C_6-alkyenyl, C_2-C_6-alkynyl, heteroaryl, C_1-C_6-alkyl aryl, C_1-C_6-alkyl heteroaryl, C_2-C_6-alkenyl-aryl or -heteroaryl, C_2-C_6-alkynyl aryl or -heteroaryl, C_1-C_6-alkoxy, cyano, C_1-C_6-acyl, or a sulfonyl moiety;

R^3 is selected from the group comprising or consisting of H or C_1-C_6-alkyl; for the preparation of a medicament for the prophylaxis and/or treatment of autoimmune disorders and/or inflammatory diseases, cardiovascular diseases, neurodegenerative diseases, kidney diseases, platelet aggregation, cancer, transplantation, graft rejection or lung injuries.

13. Use according to claim 12, wherein G is a C_1-C_6-alkoxy, cyano or a sulfonyl moiety.

14. Use according to any claims 11 to 13 wherein the imino-azolinone-vinyl fused-benzene derivative is selected from the group consisting of:

N-(5-Benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-2-chloro-benzene sulfonamide;

Ethanesulfonic acid (5-benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-amide;

N-(5-Benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-3-chloro-benzene sulfonamide;

5-Chloro-1,3-dimethyl-1H-pyrazole-4-sulfonic acid (5-benzo[1,3]dioxol-5-yl methylene -4-oxo-thiazolidin-2-ylidene)-amide;

3-(5-Benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidenesulfamoyl)-thiophene-2-carboxylic acid methyl ester;

6-Chloro-pyridine-3-sulfonic acid (5-benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-amide;

Quinoline-8-sulfonic acid (5-benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin -2-ylidene)-amide;

N-(5-Benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-benzene sulfonamide;

N-(5-Benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-4-methyl-benzene sulfonamide;

N-(5-Benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-methane sulfonamide;

N-[5-(2,2-Difluoro-benzo[1,3]dioxol-5-ylmethylene)-4-oxo-thiazolidin-2-ylidene]-benzenesulfonamide;

N-[5-(2,2-Difluoro-benzo[1,3]dioxol-5-ylmethylene)-4-oxo-thiazolidin-2-ylidene]-4-methyl-benzenesulfonamide;

N-[5-(2,2-Difluoro-benzo[1,3]dioxol-5-ylmethylene)-4-oxo-thiazolidin-2-ylidene]-methanesulfonamide;

Biphenyl-2-sulfonic acid (5-benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-amide;

Pyridine-3-sulfonic acid (5-benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene)-amide;

3-(4-Oxo-5-quinolin-6-ylmethylene-thiazolidin-2-ylidenesulfamoyl)-thiophene-2-carboxylic acid methyl ester;

2-Chloro-N-(4-oxo-5-quinolin-6-ylmethylene-thiazolidin-2-ylidene)-benzene sulfonamide;

3-(5-Benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidenesulfamoyl)-thiophene-2-carboxylic acid;

5-Benzo[1,3]dioxol-5-ylmethylene-4-oxo-thiazolidin-2-ylidene-cyanamide;

5-Benzo[1,3]dioxol-5-ylmethylene-thiazolidine-2,4-dione 2-(O-methyl-oxime);

4-Oxo-5-quinoxalin-6-ylmethylene-thiazolidin-2-ylidene-cyanamide;

5-Benzo[1,3]dioxol-5-ylmethylene-2-benzylimino-thiazolidin-4-one;

2-Benzylimino-5-quinolin-6-ylmethylene-thiazolidin-4-one;

2-Propylimino-5-quinolin-6-ylmethylene-thiazolidin-4-one;

5-Benzo[1,3]dioxol-5-ylmethylene-2-propylimino-thiazolidin-4-one;

5-(4-Dimethylamino-quinazolin-6-ylmethylene)-2-methylamino-thiazol-4-one.

15. Use according to any of claims 12 to 14, wherein said diseases are selected in the group including multiple sclerosis, psoriasis, rheumatoid arthritis, multiple sclerosis, systemic lupus erythematosis, inflammatory bowel disease, lung inflammation, thrombosis or brain infection/inflammation such as meningitis or encephalitis.

16. Use according to any of claims 12 to 14, wherein said diseases are selected in the group including Alzheimer's disease, Huntington's disease, CNS trauma, stroke or ischemic conditions.

17. Use according to any of claims 12 to 14, wherein said diseases are selected in the group including atherosclerosis, heart hypertrophy, cardiac myocyte dysfunction, elevated blood pressure or vasoconstriction.

18. Use according to any of claims 12 to 14, wherein said diseases are selected in the group including chronic obstructive pulmonary disease, anaphylactic shock fibrosis,

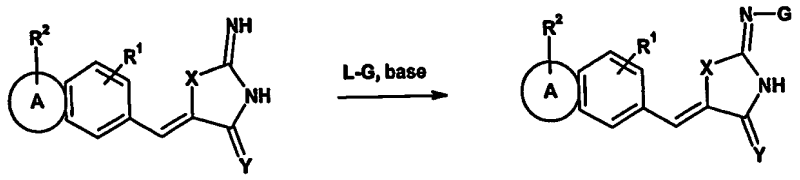
psoriasis, allergic diseases, asthma, stroke or ischemic conditions, ischemia-reperfusion, platelets aggregation/activation, skeletal muscle atrophy/hypertrophy, leukocyte recruitment in cancer tissue, angiogenesis, invasion metastisis, in particular melanoma, Karposi's sarcoma, sepsis, graft rejection, glomerulo sclerosis, glomerulo nephritis, progressive renal fibrosis, endothelial and epithelial injuries in the lung or in general lung airways inflammation.

19. Use according to any of claims 12 to 18 for the modulation, in particular for the inhibition, of the PI3 kinase activity.

20. Use according to claim 19, wherein said PI3 kinase is a PI3 kinase γ.

21. A pharmaceutical composition containing at least one thiazolidinone-vinyl fused-benzene derivative according to any of claims 1 to 10 and a pharmaceutically acceptable carrier, diluent or excipient thereof.

22. A method of preparing a 2-imino-azolinone-vinyl fused-benzene derivatives of Formula (I) according to any of claims 1 to 10 comprising the following step:



(Ia) (I)

wherein A, R^1, R^2, G, X and Y are as above defined and L is a leaving group.